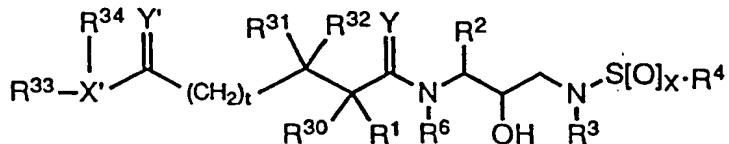


WHAT IS CLAIMED IS:

1. A compound represented by the formula:

5



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

10 x represents 0, 1 or 2;

t represents either 0 or 1;

15 R^1 represents hydrogen, $-\text{CH}_2\text{SO}_2\text{NH}_2$, $-\text{CO}_2\text{CH}_3$, $-\text{CONHCH}_3$, $-\text{CON}(\text{CH}_3)_2$, $-\text{CH}_2\text{C}(\text{O})\text{NHCH}_3$, $-\text{CH}_2\text{C}(\text{O})\text{N}(\text{CH}_3)_2$, $-\text{CONH}_2$, $-\text{C}(\text{CH}_3)_2(\text{SH})$, $-\text{C}(\text{CH}_3)_2(\text{SCH}_3)$, $-\text{C}(\text{CH}_3)_2(\text{S}[\text{O}]_2\text{CH}_3)$, alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, histidine, norleucine, glutamine, valine, threonine, serine, α -alkyl serine, aspartic acid, beta-cyano alanine, and allothreonine side chains;

20 R^2 represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from halogen and alkyl radicals, $-\text{NO}_2$, $-\text{C}\equiv\text{N}$, CF_3 , $-\text{OR}^9$ and $-\text{SR}^9$, wherein R^9 represents hydrogen and alkyl radicals;

25 R^3 represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl,

heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,

5 heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

10

X' represents N, O, and C(R¹⁷) wherein R¹⁷ represents hydrogen and alkyl radicals;

Y and Y' independently represent O, S and NR¹⁵ wherein R¹⁵

15 represents hydrogen and radicals as defined for R³;

R⁴ represents radicals as defined by R³ except for hydrogen;

20 R⁶ represents hydrogen and alkyl radicals;

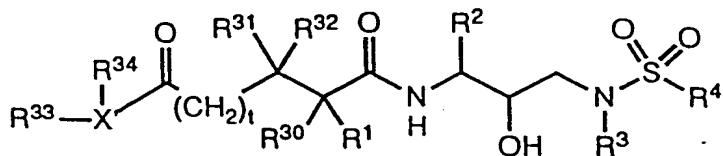
R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl

25 radical; or R³⁰ and R³² together with the carbon atoms to which they are attached form a three to six-membered cycloalkyl radical; and

R³³ and R³⁴ independently represent hydrogen, radicals as 30 defined for R³, or R³³ and R³⁴ together with X' represent cycloalkyl, aryl, heterocyclyl and heteroaryl radicals, provided that when X' is O, R³⁴ is absent.

2. Compound represented by the formula:

35



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

5

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂,

10 -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, 15 leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, histidine, norleucine, glutamine, valine, threonine, serine, aspartic acid, beta-cyano alanine, and allothreonine side chains;

20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹ represents hydrogen and alkyl radicals;

25

R³ represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl,

30 aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a

disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

5 X' represents N, O, and C(R17) wherein R17 represents hydrogen and alkyl radicals;

R⁴ represents radicals as defined by R3 except for hydrogen;

10

R³⁰, R³¹ and R³² represent radicals as defined for R1, or one of R1 and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl radical; or R³¹ and R³² together with the carbon atoms to 15 which they are attached form a three to six-membered cycloalkyl radical; and

R³³ and R³⁴ independently represent hydrogen and radicals as defined for R3, or R³³ and R³⁴ together with X' 20 represent cycloalkyl, aryl, heterocyclyl and heteroaryl radicals, provided that when X' is O, R³⁴ is absent.

3. Compound of Claim 2 wherein t is O and X' is O.

25

4. Compound of Claim 2 wherein t is O.

5. Compound of Claim 2 wherein X' represents N and O.

30

6. Compound of Claim 2 wherein t is 1.

7. Compound of Claim 2 wherein R1 represents hydrogen, alkyl, alkenyl, alkynyl, aralkyl, and hydroxyl 35 radicals, and radicals selected from -(CH₂)C(O)CH₃, -CH₂SO₂NH₂, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃) and -C(CH₃)₂(S[O]₂CH₃).

8. Compound of Claim 2 wherein R¹ represents hydrogen, methyl, ethyl, propargyl, t-butyl, isopropyl, sec-butyl, benzyl and phenylpropyl radicals;

5

9. Compound of Claim 2 wherein R¹ represents a methyl radical.

10. Compound of Claim 2 wherein R¹ represents an alkyl radical when t is 0.

11. Compound of Claim 2 wherein R¹ and R³¹ are both hydrogen and R³⁰ and R³² are both methyl.

15

12. Compound of Claim 2 wherein R¹, R³¹ and R³² are methyl and R³⁰ is hydrogen.

13. Compound of Claim 2 wherein R¹ is methyl and R³⁰, R³¹ and R³² are hydrogen.

20

14. Compound of Claim 2 wherein R³⁰ is hydrogen and R¹, R³¹ and R³² are methyl.

25

15. Compound of Claim 2 wherein R¹ and R³¹ are hydrogen and R³⁰ and R³² together with the carbon atoms to which they are attached form a three- to six-membered cycloalkyl radical.

30 16. Compound of Claim 2 wherein t is 0 and X' is N.

17. Compound of Claim 2 wherein t is 1 and X' is N.

35

18. Compound of Claim 2 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹

wherein R⁹ represents alkyl radicals.

19. Compound of Claim 2 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals.

5

20. Compound of Claim 2 wherein R² represents aralkyl radicals.

10 21. Compound of Claim 2 wherein R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals.

22. Compound of Claim 2 wherein R² represents an n-butyl and iso-butyl radicals.

15

23. Compound of Claim 2 wherein R² represents benzyl, 4-fluorobenzyl and 2-naphthylmethyl radicals.

24. Compound of Claim 2 wherein R² represents 20 a cyclohexylmethyl radical.

25. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, alkenyl, alkoxyalkyl, hydroxyalkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, 25 aryl, aralkyl and heteroaralkyl radicals.

26. Compound of Claim 2 wherein R⁴ represents an aryl radical.

30

27. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl and aryl radicals.

28. Compound of Claim 2 wherein R³ and R⁴ 35 independently represent alkyl and hydroxyalkyl radicals.

29. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, cycloalkyl and

cycloalkylalkyl radicals.

30. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, heterocycloalkyl and 5 heterocycloalkylalkyl radicals.

31. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, aryl and aralkyl radicals.

10 32. Compound of Claim 2 wherein R⁴ represents alkyl and aryl radicals.

15 33. Compound of Claim 2 wherein R³ represents alkyl radicals having from about 2 to about 5 carbon atoms.

34. Compound of Claim 2 wherein R³ represents n-pentyl, n-hexyl, n-propyl, i-butyl, neo-pentyl, i-amyl, and n-butyl radicals.

20 35. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl radicals having from about 2 to about 5 carbon atoms, cycloalkylalkyl radicals, aryl radicals, aralkyl radicals, heterocycloalkylalkyl 25 radicals, heteroaryl radicals and heteroaralkyl radicals.

30 36. Compound of Claim 2 wherein R³ represents benzyl, para-fluorobenzyl, para-methoxybenzyl, para-methylbenzyl, and 2-naphthylmethyl radicals and R⁴ represents phenyl.

35 37. Compound of Claim 2 wherein R³ is cyclohexylmethyl or cyclohexyl and R⁴ is phenyl or methyl.

35 38. Compound of Claim 2 wherein R³ is i-amyl and R⁴ is phenyl or methyl.

39. Compound of Claim 2 wherein R³ is i-butyl and R⁴ is phenyl or methyl.

40. Compound of Claim 2 wherein R³ is n-butyl
5 and R⁴ is phenyl or methyl.

41. Compound of Claim 2 wherein R³ is neo-pentyl and R⁴ is phenyl or methyl.

10

42. Compound of Claim 2 wherein R⁴ represents alkyl and cycloalkyl radicals.

43. Compound of Claim 2 wherein R⁴ represents
15 aryl and heteroaryl radicals.

44. Compound of Claim 2 wherein R⁴ represents alkyl radicals.

20

45. Compound of Claim 2 wherein R³ represents heteroaralkyl radicals and R⁴ is an aryl or alkyl radical.

46. Compound of Claim 2 wherein R³ is a p-fluorobenzyl radical and R⁴ is a phenyl radical.

47. Compound of Claim 2 wherein R³ is a 4-pyridylmethyl radical or its N-oxide and R⁴ is a phenyl radical.

30

48. Compound of Claim 2 wherein R⁴ is selected from methyl, phenyl, p-methoxyphenyl, p-fluorophenyl, p-aminophenyl and p-(acetylamino)phenyl.

35

49. Compound of Claim 2 wherein R³ represents isobutyl, isoamyl, n-propyl, cyclohexyl, cyclohexylmethyl and n-butyl radicals and R⁴ represents phenyl radicals and substituted phenyl radicals, wherein substituents of

the substituted phenyl radical are selected from choloro, fluoro, nitro, methoxy, and amino substituents.

50. Compound of Claim 2 wherein X' is nitrogen
5 and R³³ and R³⁴ independently represent hydrogen and
alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl,
cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl,
aralkyl, heteroaryl and heteroaralkyl radicals.

10 51. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent hydrogen and
alkyl radicals.

15 52. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent alkenyl and
alkynyl radicals

20 53. Compound of Claim 2 wherein X' is nitrogen
R³³ and R³⁴ independently represent hydroxyalkyl and
alkoxyalkyl radicals.

54. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent alkyl radicals.

25 55. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent cycloalkyl and
cycloalkylalkyl radicals.

30 56. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent heteroaryl and
heteroaralkyl radicals.

35 57. Compound of Claim 2 wherein X' is nitrogen
and R³³ and R³⁴ independently represent heterocycloalkyl
radicals.

58. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ together with the nitrogen atom form a heterocyclyl or heteroaryl radical.

5 59. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals.

10

60. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ represents alkyl, aralkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroaralkyl radicals.

15

61. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is an aralkyl radical.

62. Compound of Claim 2 wherein X' is oxygen, 20 R³⁴ is absent and R³³ is hydrogen.

63. Compound of Claim 2 wherein t is 0 and R¹, R³⁰ and R³¹ are all hydrogen.

25

64. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is an alkyl radical.

65. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is a benzyl radical.

30

66. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

35

67. A pharmaceutical composition comprising a compound of Claim 2 and a pharmaceutically acceptable carrier.

68. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 66.

5 69. Method of Claim 68 wherein the retroviral protease is HIV protease.

10 70. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 66.

71. Method of Claim 70 wherein the retroviral infection is an HIV infection.

15 72. Method for treating AIDS comprising administering an effective amount of a composition of Claim 66.

20 73. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 67.

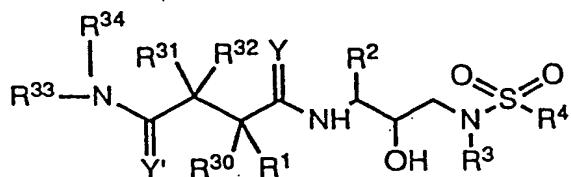
74. Method of Claim 73 wherein the retroviral protease is HIV protease.

25 75. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 67.

30 76. Method of Claim 75 wherein the retroviral infection is an HIV infection.

35 77. Method for treating AIDS comprising administering an effective amount of a composition of Claim 67.

78. Compound represented by the formula:



5 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

10 R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃), -C(CH₃)₂(S[O]CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, histidine, norleucine, glutamine, valine, threonine, serine, aspartic acid, beta-cyano alanine, and allothreonine side chains;

15

20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl, and aralkyl radicals, which radicals are optionally substituted with a group selected from halogen and alkyl radicals, -NO₂, -C≡N, CF₃, -OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl radicals;

25

30 R³ represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a

disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

5 R⁴ represents radicals as defined by R³ except hydrogen;
 R³⁰, R³¹ and R³² represent radicals as defined for R¹, or
 one of R¹ and R³⁰ together with one of R³¹ and R³² and the
 10 carbon atoms to which they are attached form a cycloalkyl
 radical; and

R³³ and R³⁴ independently represent hydrogen and radicals
 as defined for R³, or R³³ and R³⁴ together with the
 nitrogen atom to which they are attached represent
 15 heterocycloalkyl and heteroaryl radicals; and

Y and Y' independently represent O, S, and NR¹⁵ wherein
 R¹⁵ represents hydrogen and radicals as defined for R³.

20 79. Compound of Claim 78 wherein Y and Y' are
 O.

80. Compound of Claim 78 wherein R¹ represents
 hydrogen, alkyl, alkenyl, alkynyl, aralkyl, and hydroxyl
 25 radicals, and radicals selected from -(CH₂)C(O)CH₃,
 -CH₂SO₂NH₂, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃,
 -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃),
 -C(CH₃)₂(S[O]CH₃) and -C(CH₃)₂(S[O]CH₃).

30 81. Compound of Claim 78 wherein R¹ represents
 alkyl radicals having from 1 to about 4 carbon atoms and
 alkenyl radicals having from 3 to 8 carbon atoms.

82. Compound of Claim 78 wherein R¹ represents
 35 hydrogen, methyl, ethyl, isopropyl, propargyl, t-butyl,
 sec-butyl, benzyl and phenylpropyl radicals.

83. Compound of Claim 78 wherein R₁ and R₃₁ are both hydrogen and R₃₀ and R₃₂ are both methyl.

84. Compound of Claim 78 wherein R₁, R₃₀, R₃₁ and R₃₂ are selected from hydrogen and methyl radicals.

85. Compound of Claim 78 wherein R₁ is methyl and R₃₀, R₃₁ and R₃₂ are hydrogen.

10 86. Compound of Claim 78 wherein R₃₀ is hydrogen and R₁, R₃₁ and R₃₂ are methyl.

15 87. Compound of Claim 78 wherein R₁ and R₃₁ are hydrogen and R₃₀ and R₃₂ together with the carbon atoms to which they are attached form a three- to six-membered cycloalkyl radical.

20 88. Compound of Claim 78 wherein R₂ represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals, and -C≡N, CF₃, and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents alkyl radicals.

25 89. Compound of Claim 78 wherein R₂ represents alkyl, cycloalkylalkyl and aralkyl radicals.

30 90. Compound of Claim 78 wherein R₂ represents aralkyl radicals.

91. Compound of Claim 78 wherein R₂ represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals.

35 92. Compound of Claim 78 wherein R₂ represents an n-butyl and iso-butyl radicals.

93. Compound of Claim 78 wherein R² represents benzyl, 4-fluorobenzyl, and 2-naphthylmethyl radicals.

94. Compound of Claim 78 wherein R² represents
5 a cyclohexylmethyl radical.

95. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, haloalkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
10 heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

96. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl and aryl radicals.
15

97. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl and alkenyl radicals.

98. Compound of Claim 78 wherein R³ and R⁴
20 independently represent alkoxyalkyl and hydroxyalkyl radicals.

99. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, cycloalkyl and
25 cycloalkylalkyl radicals.

100. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, heterocycloalkyl and heterocycloalkylalkyl radicals.
30

101. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, aryl and aralkyl radicals.

102. Compound of Claim 78 wherein R³ and R⁴
35 independently represent alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

103. Compound of Claim 78 wherein R³ represents alkyl radicals having from about 2 to about 5 carbon atoms and R⁴ represents alkyl and aryl radicals.

5 104. Compound of Claim 96 wherein R⁴ represents methyl and phenyl radicals.

105.. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl radicals having from about 2 to about 5 carbon atoms, cycloalkylalkyl radicals, aryl and aralkyl radicals, heterocycloalkylalkyl radicals, heteroaryl and heteroaralkyl radicals.

15 106. Compound of Claim 78 wherein R³ represents benzyl, para-fluorobenzyl, para-methoxybenzyl, para-methylbenzyl, and 2-naphthylmethyl radicals and R⁴ represents a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

20

107. Compound of Claim 78 wherein R³ is cyclohexylmethyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

25

108. Compound of Claim 78 wherein R³ is i-amyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

30

109. Compound of Claim 78 wherein R³ is i-butyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

35

110. Compound of Claim 78 wherein R³ is n-butyl or n-propyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

111. Compound of Claim 78 wherein R³ is cyclohexyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

112. Compound of Claim 78 wherein R⁴ represents alkyl radicals.

113. Compound of Claim 78 wherein R⁴ represents aryl and heteroaryl radicals.

114. Compound of Claim 78 wherein R⁴ represents alkyl radicals having from 1 to about 6 carbon atoms..

115. Compound of Claim 78 wherein R³ represents heteroaralkyl radicals and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

116. Compound of Claim 78 wherein R³ is a p-fluorobenzyl radical and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

117. Compound of Claim 78 wherein R³³ and R³⁴ independently represent hydrogen and alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

118. Compound of Claim 78 R³³ and R³⁴ both represent hydrogen.

5 119. Compound of Claim 78 wherein R³³ and R³⁴ independently represent alkenyl and alkynyl radicals

10 120. Compound of Claim 78 wherein R³³ and R³⁴ independently represent hydroxyalkyl and alkoxyalkyl radicals.

121. Compound of Claim 78 wherein R³³ and R³⁴ independently represent alkyl and aralkyl radicals.

15 122. Compound of Claim 78 wherein R³³ and R³⁴ independently represent cycloalkyl and cycloalkylalkyl radicals.

20 123. Compound of Claim 78 wherein R³³ and R³⁴ independently represent heteroaryl and heteroaralkyl radicals.

124. Compound of Claim 78 wherein R³³ and R³⁴ independently represent heterocycloalkyl radicals.

25 125. Compound of Claim 78 wherein R³³ and R³⁴ together with the nitrogen atom form a heterocyclyl or heteroaryl radical.

30 126. A pharmaceutical composition comprising a compound of Claim 78 and a pharmaceutically acceptable carrier.

35 127 Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 126.

128. Method of Claim 127 wherein the retroviral protease is HIV protease.

129. Method of treating a retroviral infection
5 comprising administering an effective amount of a composition of Claim 126.

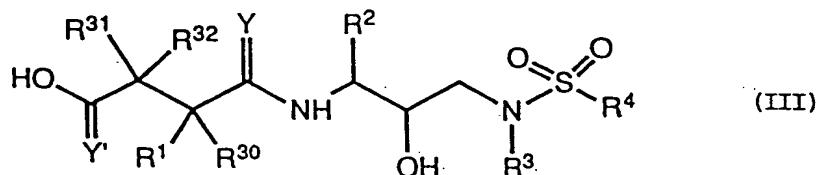
130. Method of Claim 129 wherein the retroviral infection is an HIV infection.

10

131. Method for treating AIDS comprising administering an effective amount of a composition of Claim 126.

15

132. Compound represented by the formula:



20 or a pharmaceutically acceptable salt, prodrug or ester thereof, preferably wherein;

25 R_1 represents hydrogen, $-CH_2SO_2NH_2$, $-CO_2CH_3$, $-CH_2CO_2CH_3$, $-CONHCH_3$, $-CON(CH_3)_2$, $-CH_2C(O)NHCH_3$, $-CH_2C(O)N(CH_3)_2$, $-CONH_2$, $-C(CH_3)_2(SH)$, $-C(CH_3)_2(SCH_3)$, $-C(CH_3)_2(SOCH_3)$, $-C(CH_3)_2(SO_2CH_3)$, alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, histidine, norleucine, glutamine, valine, threonine, serine, aspartic acid, beta-cyano alanine, and allothreonine side chains;

R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹

5 represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl,

10 heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and

15 heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

20 Y and Y' independently represent O, S and NR¹⁵ wherein R¹⁵ represents hydrogen and radicals as defined for R³;

R⁴ represents radicals as defined by R³ except for hydrogen; and

25

R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl radical; or R³⁰ and R³² together with the carbon atoms to

30 which they are attached form a cycloalkyl radical.

133. Compound of Claim 132 wherein Y and Y' are O.

35

134. Compound of Claim 132 wherein Y and Y' are S.

135. Compound of Claim 132 wherein R¹

represents hydrogen and alkyl radicals having from 1 to about 4 carbon atoms, alkenyl, alkynyl, aralkyl radicals, hydroxyl radicals, and radicals selected from $-(CH_2)C(O)_2CH_3$, $-CH_2SO_2NH_2$, $-CONHCH_3$, $-CON(CH_3)_2$,

5 $-CH_2C(O)NHCH_3$, $-CH_2C(O)N(CH_3)_2$, $-CONH_2$, $-C(CH_3)_2(SH)$, $-C(CH_3)_2(SCH_3)$, $-C(CH_3)_2(S[O]CH_3)$ and $-C(CH_3)_2(S[O]_2CH_3)$.

136. Compound of Claim 132 wherein R¹ represents hydrogen, methyl, ethyl, propyl, benzyl, phenyl, propargyl, hydroxyl and radicals selected from $-CH_2CO_2CH_3$, $-CH_2CONH_2$ and $-CH_2COOH$, represented by the formula $CH_2C(O)R^*$ wherein R* represents $-CH_3$, NH_2 and $-OH$.

15 137. Compound of Claim 132 wherein R¹ and R³¹ are both hydrogen and R³⁰ and R³² are both methyl.

138. Compound of Claim 132 wherein R³⁰ is hydrogen and R¹, R³¹ and R³² are all methyl.

20 139. Compound of Claim 132 wherein R³⁰, R³¹ and R³² are hydrogen and R¹ is methyl.

140. Compound of Claim 132 wherein R¹ and R³¹ are both hydrogen and R³⁰ and R³² together with the carbon atoms to which they are attached form a three to six-membered cycloalkyl radical.

141. Compound of Claim 132 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents alkyl radicals.

142. Compound of Claim 132 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals.

143. Compound of Claim 132 wherein R²

represents aralkyl radicals.

144. Compound of Claim 132 wherein R²
represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 2-
5 naphthylmethyl and cyclohexylmethyl radicals.

145. Compound of Claim 132 wherein R²
represents an n-butyl and iso-butyl radicals.

10 146. Compound of Claim 132 wherein R²
represents benzyl, 4-fluorobenzyl, and 2-naphthylmethyl
radicals.

15 147. Compound of Claim 132 wherein R²
represents a cyclohexylmethyl radical.

148. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, haloalkyl, alkenyl,
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
20 heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl,
heteroaryl and heteroaralkyl radicals.

149. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl and aryl radicals.
25

150. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl radicals.

151. Compound of Claim 132 wherein R³ and R⁴
30 independently represent alkyl, cycloalkyl and
cycloalkylalkyl radicals.

152. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, heterocycloalkyl and
35 heterocycloalkylalkyl radicals.

153. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, aryl and aralkyl radicals.

154. Compound of Claim 132 wherein R³ and R⁴ independently represent alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, 5 aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

155. Compound of Claim 132 wherein R³ represents alkyl radicals having from about 2 to about 5 carbon atoms.

10

156. Compound of Claim 132 wherein R³ represents n-propyl, i-butyl, neo-pentyl, n-pentyl, cyclohexyl, cyclohexylmethyl, n-hexyl, i-amyl, and n-butyl radicals.

15

157. Compound of Claim 132 wherein R³ and R⁴ independently represent alkyl radicals having from about 2 to about 5 carbon atoms, cycloalkyl, cycloalkylalkyl radicals, aryl radicals, aralkyl radicals, heteroaryl 20 radicals, heterocycloalkylalkyl radicals and heteroaralkyl radicals.

158. Compound of Claim 132 wherein R³ represents benzyl, para-fluorobenzyl, para-methoxybenzyl, 25 para-methylbenzyl, and 2-naphthylmethyl radicals and R⁴ represents a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

30

159. Compound of Claim 132 wherein R³ is cyclohexylmethyl or cyclohexyl radical and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

35

160. Compound of Claim 132 wherein R³ is i-amyl or n-butyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from

chloro, fluoro, nitro, methoxy and amino substituents.

161. Compound of Claim 132 wherein R³ is i-
butyl and R⁴ is a phenyl or substituted phenyl radical
5 wherein said substituents are selected from chloro,
fluoro, nitro, methoxy and amino substituents.

162. Compound of Claim 132 wherein R³ is
benzyl or p-fluorobenzyl and R⁴ is a phenyl or
10 substituted phenyl radical wherein said substituents are
selected from chloro, fluoro, nitro, methoxy and amino
substituents.

163. Compound of Claim 132 wherein R³ is neo-
15 pentyl and R⁴ is a phenyl or substituted phenyl radical
wherein said substituents are selected from chloro,
fluoro, nitro, methoxy and amino substituents.

164. Compound of Claim 132 wherein R⁴
20 represents a phenyl or substituted phenyl radical wherein
said substituents are selected from chloro, fluoro,
nitro, methoxy and amino substituents.

165. Compound of Claim 132 wherein R³
25 represents heteroaralkyl radicals and R⁴ is a phenyl or
substituted phenyl radical wherein said substituents are
selected from chloro, fluoro, nitro, methoxy and amino
substituents.

30 166. Compound of Claim 132 wherein R³ is a
p-fluorobenzyl radical and R⁴ is a phenyl or substituted
phenyl radical wherein said substituents are selected
from chloro, fluoro, nitro, methoxy and amino
substituents.

35

167. A pharmaceutical composition comprising a
compound of Claim 132 and a pharmaceutically acceptable
carrier.

168. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 167.

5

169. Method of Claim 168 wherein the retroviral protease is HIV protease.

10 170. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 167.

15 171. Method of Claim 170 wherein the retroviral infection is an HIV infection.

15

172. Method for treating AIDS comprising administering an effective amount of a composition of Claim 167.

20

173. A compound of Claim 1 which is:

25 Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

30 Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl)amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-

5

Butanediamide, N⁴-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

10

Butanoic acid, 4-[(2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

15

Butanoic acid, 4-[(2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-

20

Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amine]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

25

Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

30

Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-

35

Butanoic acid, 4-[(2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]

Butanoic acid, 4-[(2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

5

Butanediamide, N⁴-[2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*), 2S*]]

10 Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(4-fluoro phenylsulfonyl)amine]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

15 Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(4-fluoro phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

20 Butanoic acid, 4-[(2-hydroxy-3-[(3-methylbutyl)(4-fluoro phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-